

(phenyl(CH<sub>2</sub>)<sub>n</sub>COOH." Applicants assume that the Examiner means to refer to compounds in which R<sub>5</sub> is -L<sub>3</sub>-M<sub>3</sub> and M<sub>3</sub> is phenyl(CH<sub>2</sub>)<sub>n</sub>COOH. Absent a statement to the contrary by the Examiner, Applicants also assume that if the generic claim is found to be allowable, all the claimed subject matter will be allowed, in accordance with the usual practice where there is an election of species under 37 C.F.R. 1.146. Should any of these assumptions be incorrect, Applicants respectfully request clarification.

Claims 1-20 have been rejected under 35 U.S.C. § 112, second paragraph, as being indefinite due to inclusion of the terms "preferably" and "not limited to". Applicants have amended claims 1-9 to delete the improper terminology, and also to make the claims more concise. These amendments are made for the purpose of clarity and do not change the scope of the claims. Applicants respectfully request withdrawal of this rejection in view of the aforementioned amendments.

Claims 1, 5-7, and 20 have been rejected under 35 U.S.C. § 102(b) as being anticipated by Archer (3,189,617). The Examiner has indicated that when R<sub>3</sub> is OH the claimed compound is the enol form of the ketone in reference example D2. Applicants traverse this rejection for the reasons set forth below.

The compound of reference example D2 contains a 2-carboxyphenyl moiety bonded to the nitrogen ring atom. The location of this moiety corresponds to R<sub>5</sub> in the claimed compound; however, the claimed definition of R<sub>5</sub> does not include 2-carboxyphenyl. Consequently, the claimed compound where R<sub>3</sub> is OH is not the enol form of the prior art ketone compound. Applicants wish to respectfully point out that R<sub>5</sub> cannot be M<sub>3</sub>, but can be -L<sub>3</sub>-M<sub>3</sub>, which means that the L<sub>3</sub> linking group is attached to the ring nitrogen atom. Applicants believe that the claimed compounds are novel, and also are not obvious from knowledge of the prior art. Applicants respectfully request withdrawal of this rejection.

Claims 1-9 and 16-20 are objected to as being directed to "a misjoinder of inventions as recited above." Applicants traverse this objection. Nowhere in the office action is a "misjoinder of invention" mentioned, nor have the Applicants been given any explanation or reasons for such a conclusion. Applicants guess (without knowing) that the Examiner is referring to the election of species requirement, but do not understand what the Examiner deems to be a misjoinder of invention. If the Examiner is attempting to issue a restriction requirement, he should so state and provide reasons for the requirement in accordance with MPEP sections 803, 808, 809 and 816. Applicants would then have an opportunity to

consider the reasons and make a determination whether the restriction should be accepted or traversed.

Applicants respectfully request withdrawal of the aforementioned rejections and objections, allowance of claims 1-20, and prompt advancement of the case to issue. No fee is believed to be due herewith, but should a fee be due it should be charged to Deposit Acct. No. 01-1425.



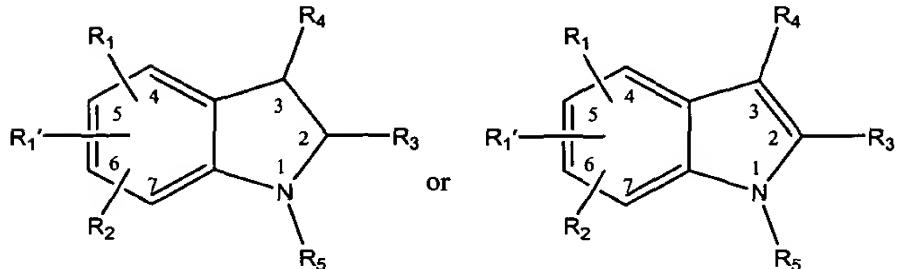
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Version With Markings To Show Changes Made

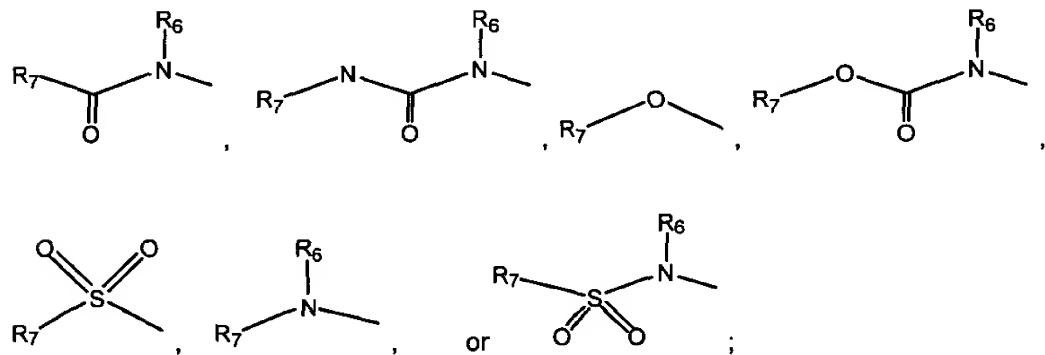
--1 (Amended). A compound of the formulae:



wherein:

R<sub>1</sub> and R<sub>1'</sub> are independently selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, -S-C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -HN(C<sub>1</sub>-C<sub>6</sub>), -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, phenyl, -O-phenyl, -S-phenyl, benzyl, -O-benzyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

or a moiety of the formulae:



R<sub>6</sub> is selected from H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -C(O)CH<sub>3</sub>, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

R<sub>7</sub> is selected from -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, (CH<sub>2</sub>)<sub>n</sub>phenyl, phenyl, -O-phenyl, benzyl, -O-benzyl,

adamantyl, or morpholinyl,  $-(CH_2)_n$ -phenyl-O-phenyl,  $-(CH_2)_n$ -phenyl-CH<sub>2</sub>-phenyl,  $-(CH_2)_n$ -O-phenyl-CH<sub>2</sub>-phenyl,  $-(CH_2)_n$ -phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH;

R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably -C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sub>3</sub> is selected from H, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -C<sub>1</sub>-C<sub>6</sub> alkyl, -C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -CHO, halogen, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub> or a moiety of the formula -L<sup>1</sup>-M<sup>1</sup>:

L<sup>1</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, C(O)C(O)X, -(CH<sub>2</sub>)<sub>n</sub>-N-(CH<sub>2</sub>)<sub>n</sub>-;

M<sup>1</sup> is selected from:

a) H, the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

b) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O [including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrrolidine, imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole], the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

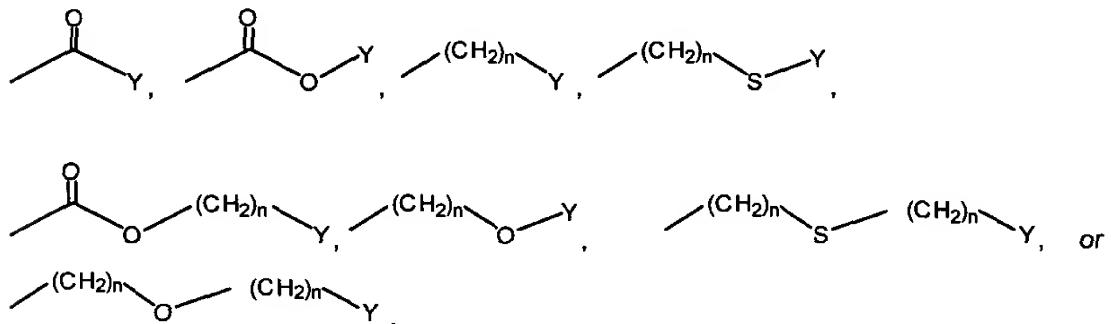
c) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O [including, but not limited to, pyran, pyridine, pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine, thiadiazine, oxazine, or morpholine], the six-membered heterocyclic ring being optionally substituted by from 1 to 3

substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or

d) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O [including, but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline, naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine, quinazoline, cinnoline, phthalazine, or napthyridine], the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;

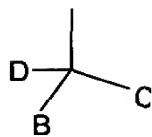
R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>8</sub> lower alkyl, C<sub>1</sub>-C<sub>8</sub> lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, or the groups of:

a) -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, or a moiety of the formulae:



wherein n is independently selected in each appearance as an integer from 0 to 3, [preferably 0 to 2, more preferably 0 to 1,] Y is C<sub>3</sub>-C<sub>5</sub> cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub> or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O[, preferably S or O]; or

b) a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein A is the moiety:

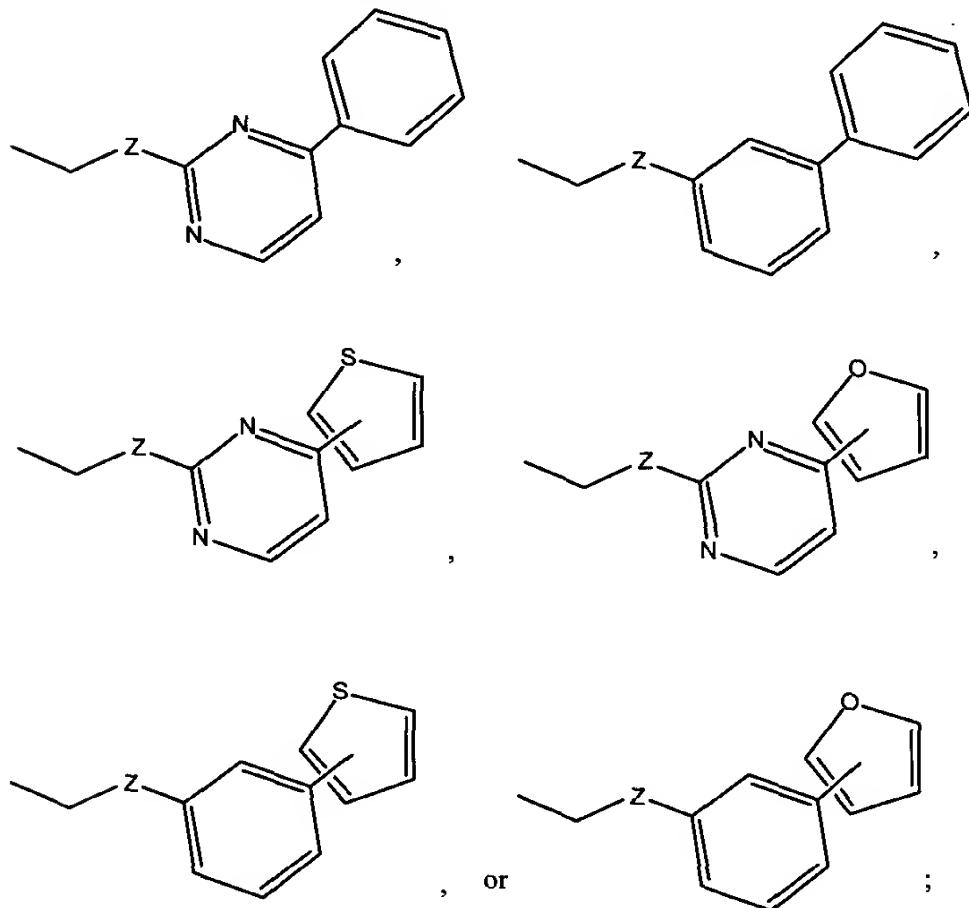


wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thieryl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>; or

c) a moiety of the formulae:



wherein Z is O or S and the phenyl and pyrimidinyl rings of each moiety are optionally and independently substituted by from 1 to 3 substituents selected from halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, or -NO<sub>2</sub>; or

d) a moiety of the formula -L<sup>2</sup>-M<sup>2</sup>, wherein:

L<sup>2</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -SO<sub>2</sub>-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -C(O)C(O)X;

where X = O, N

M<sup>2</sup> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

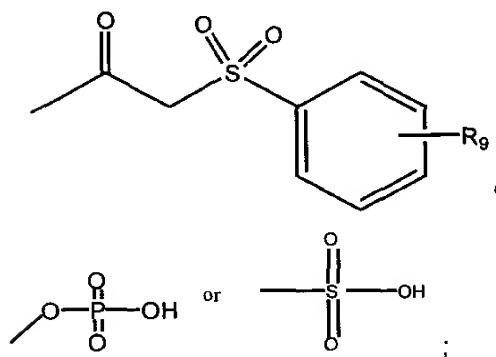
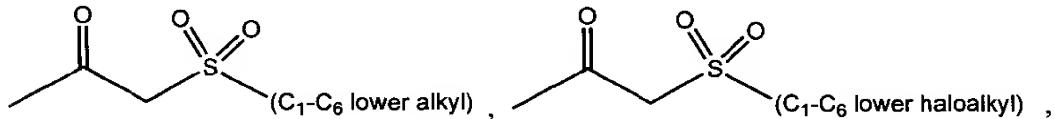
i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O [including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole], the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O [including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine], the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or

iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O [including, but not limited to benzofuran, indole, indoline, napthalene, purine, or quinoline], the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;

n is an integer from 0 to 3;

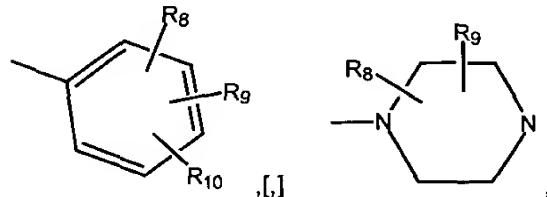
$R_5$  is selected from  $-COOH$ ,  $-C(O)-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,  $-(CH_2)_n-COOH$ ,  $(CH_2)_n-CH=CH-COOH$ ,  $-(CH_2)_n$ -tetrazole,  $-CH_2$ -phenyl- $C(O)$ -benzothiazole, or

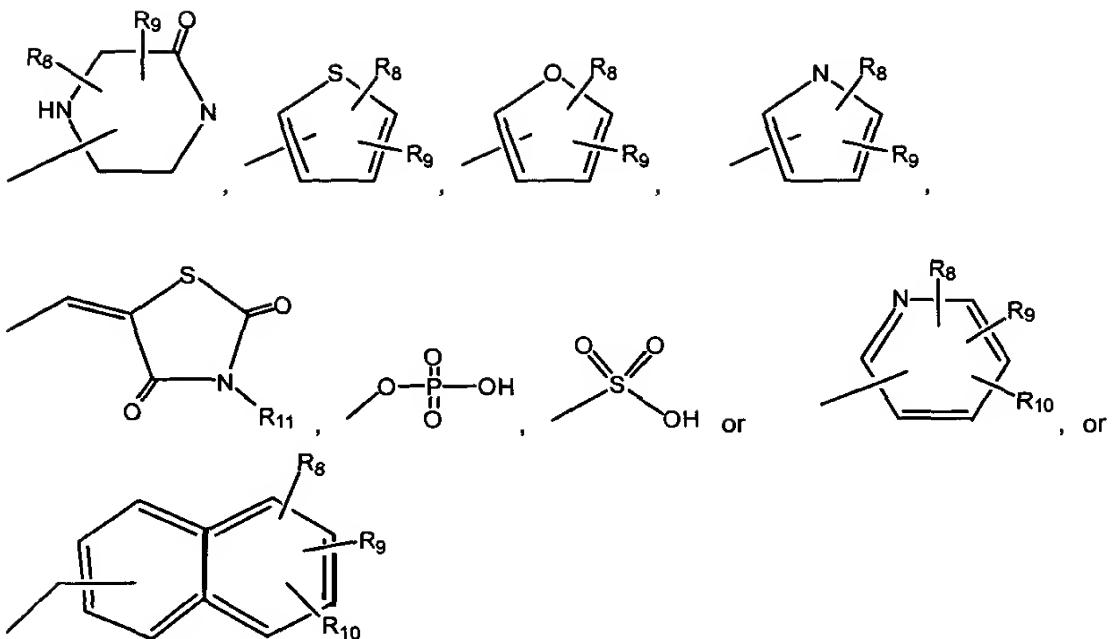


or a moiety selected from the formulae  $-L^3-M^3$ ;

wherein  $L^3$  is a bridging or linking moiety selected from a chemical bond,  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-SO_2-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-C(Z)-N(R_6)-$ ,  $-C(Z)-N(R_6)-(CH_2)_n-$ ,  $-C(O)-C(Z)-N(R_6)-$ ,  $-C(O)-C(Z)-N(R_6)-(CH_2)_n-$ ,  $-C(Z)-NH-SO_2-$ ,  $-C(Z)-NH-SO_2-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-(CH_2)_n-SO-(CH_2)_n-$ ,  $-(CH_2)_n-SO_2-(CH_2)_n-$ , or  $-(CH_2)_n-CH=CH-(CH_2)_n-O-$ ;

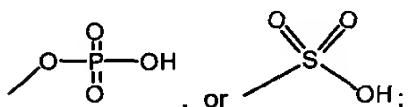
$M^3$  is selected from the group of  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,





where R<sub>8</sub>, R<sub>9</sub> or R<sub>10</sub> can be attached anywhere in the cyclic or bicyclic system,  
n is an integer from 0 to 3;

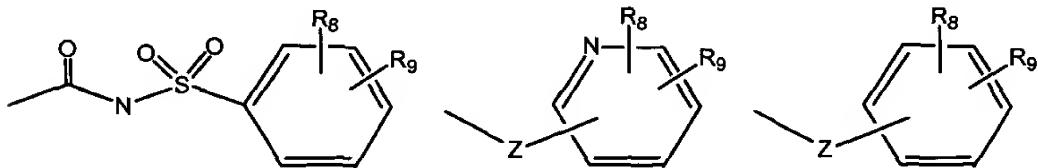
R<sub>8</sub>, in each appearance, is independently selected from H, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, tetrazole, -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,

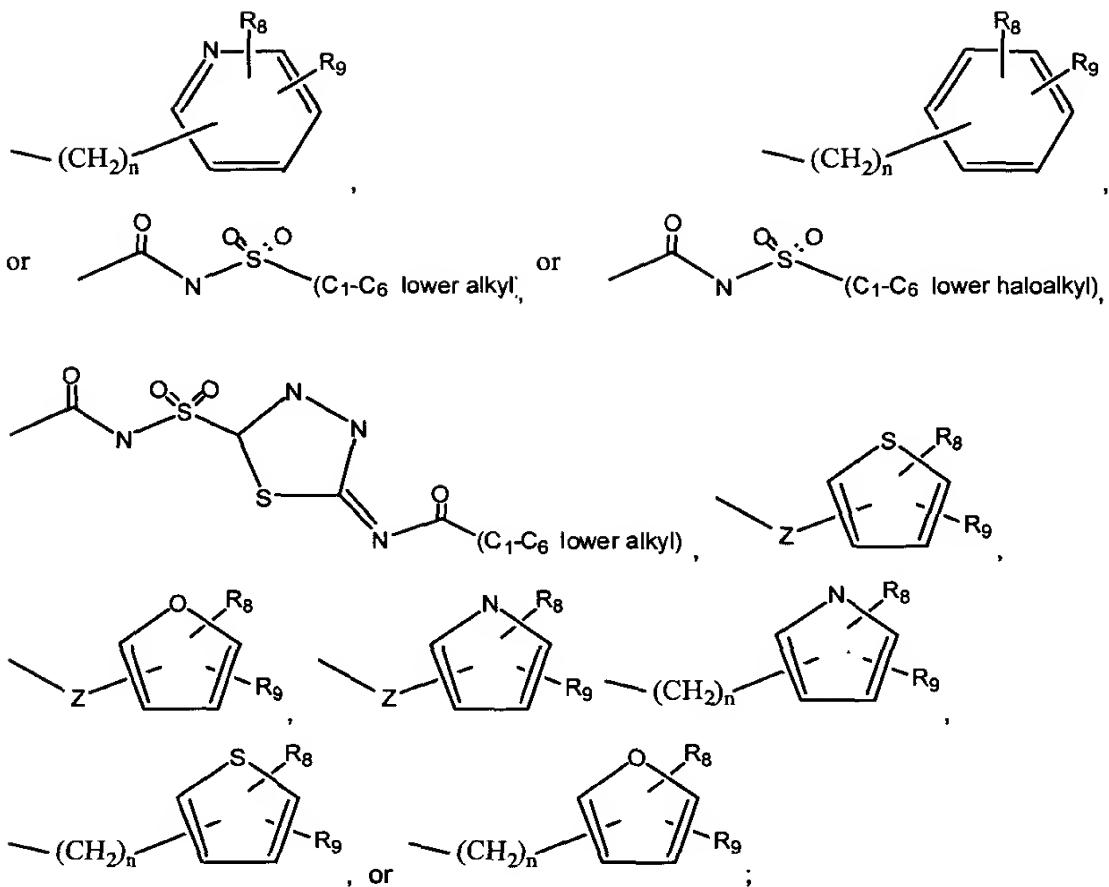


n is an integer from 0 to 3;

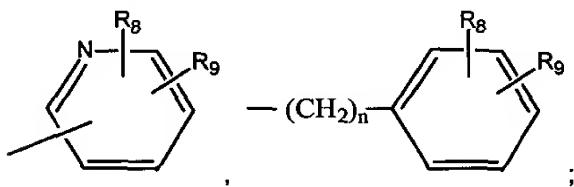
R<sub>9</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;  
n is an integer from 0 to 3;

R<sub>10</sub> is selected from the group of H, halogen, -CF<sub>3</sub>, -OH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,

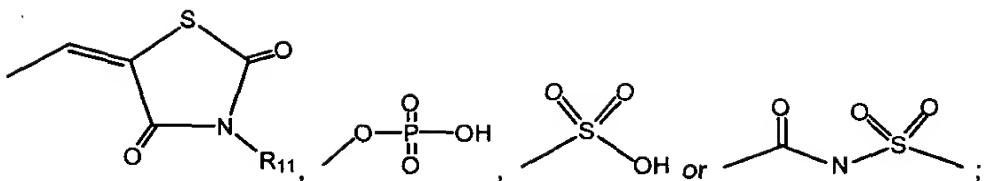




$R_{11}$  is selected from H,  $\text{C}_1\text{-C}_6$  lower alkyl,  $\text{C}_1\text{-C}_6$  cycloalkyl,  $-\text{CF}_3$ ,  $-\text{COOH}$ ,  $-(\text{CH}_2)_n\text{COOH}$ ,  $-(\text{CH}_2)_n\text{C}(\text{O})\text{COOH}$ ,

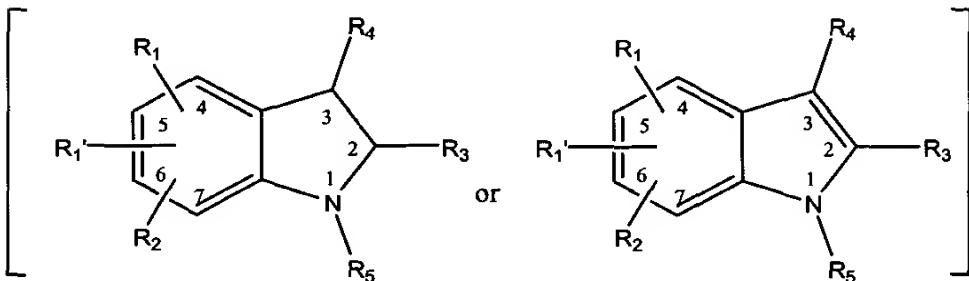


with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ , and/or  $R_{11}$  shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae:  $-\text{C}(\text{O})\text{NH}_2$ ,  $-(\text{CH}_2)_n\text{C}(\text{O})\text{NH}_2$ ,



$n$  is an integer from 0 to 3;  
or a pharmaceutically acceptable salt thereof.

2 (Amended). A compound of Claim 1 [of the formula:]



wherein:

$R_1$  and  $R_{1'}$  are independently selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl,  $-S-C_1-C_{10}$  alkyl,  $C_1-C_{10}$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)_2$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O-phenyl$ ,  $-S-phenyl$ , benzyl,  $-O-benzyl$ , or  $-S-benzyl$ , the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

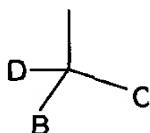
[ $R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6)_2$ ,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;]

$R_3$  is selected from H,  $-CF_3$ ,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl,  $-C_1-C_6$  alkyl,  $-C_3-C_{10}$  cycloalkyl,  $-CHO$ , halogen, or  $(CH_2)_nC(O)NH_2$  or a moiety of the formula  $-L^1-M^1$ :

$L^1$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-(CH_2)_n-$ ,  $C(O)C(O)X$ ,  $-(CH_2)_n-N-(CH_2)_n-$ ,]

$M^1$  is selected from: H,  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $C_3$ - $C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, [preferably  $C_1$ - $C_6$  alkyl,]  $C_1$ - $C_{10}$  alkoxy, [preferably  $C_1$ - $C_6$  alkoxy,]  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ;

$R_4$  is a moiety of the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ , wherein A is the moiety:

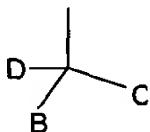


wherein

D is H,  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy, or  $-CF_3$ ;

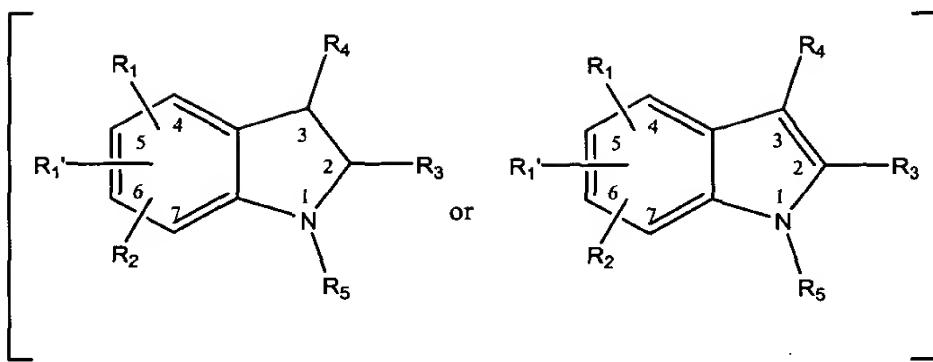
B and C are independently selected from phenyl, pyridinyl, furyl, thieryl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3 substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $-NO_2$ ;  
or a pharmaceutically acceptable salt thereof.

3 (Amended). A compound of Claim 2 wherein  $R_4$  is the moiety:



B and C are phenyl optionally substituted by from 1 to 3 substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $-NO_2$ ; and  $R_1$ ,  $R_1'$ ,  $R_2$ ,  $R_3$ ,  $R_5$ ,  $L^1$ ,  $M^1$  and D are as defined in Claim 2; or a pharmaceutically acceptable salt thereof.

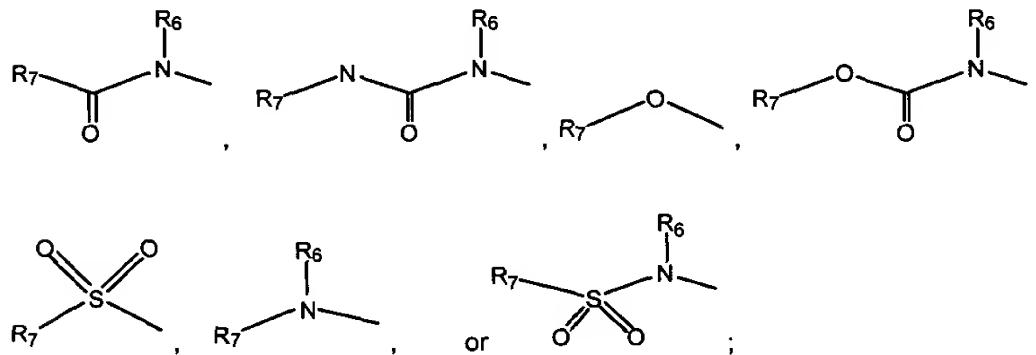
4 (Amended). A compound of Claim 1 [having the formulae:]



wherein:

[R<sub>1</sub> and R<sub>1'</sub> are independently selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -S-C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -S-C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -HN(C<sub>1</sub>-C<sub>6</sub>), -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, phenyl, -O-phenyl, -S-phenyl, benzyl, -O-benzyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

or R<sub>1</sub> and R<sub>1'</sub> are independently a moiety of the formulae:



R<sub>6</sub> is selected from H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -C(O)CH<sub>3</sub>, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

R<sub>7</sub> is selected from -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, (CH<sub>2</sub>)<sub>n</sub>phenyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-

phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH;

R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sub>3</sub> is selected from H, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -C<sub>1</sub>-C<sub>6</sub> alkyl, -C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -CHO, halogen, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub> or a moiety of the formula -L<sup>1</sup>-M<sup>1</sup>:

L<sup>1</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, C(O)C(O)X, -(CH<sub>2</sub>)<sub>n</sub>-N-(CH<sub>2</sub>)<sub>n</sub>

M<sup>1</sup> is selected from:

a) H, the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

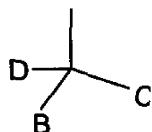
b) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrrolidine, imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

c) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to, pyran, pyridine, pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine, thiadiazine, oxazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or

d) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline, naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine, quinazoline, cinnoline, phthalazine, or napthyridine, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;]

R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, or the groups of:

a) a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein A is the moiety:



wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2,] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>; or

b) a moiety of the formula -L<sup>2</sup>-M<sup>2</sup>, wherein L<sup>2</sup> and M<sup>2</sup> are as defined in claim 1; [:

L<sup>2</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -SO<sub>2</sub>-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -C(O)C(O)X;

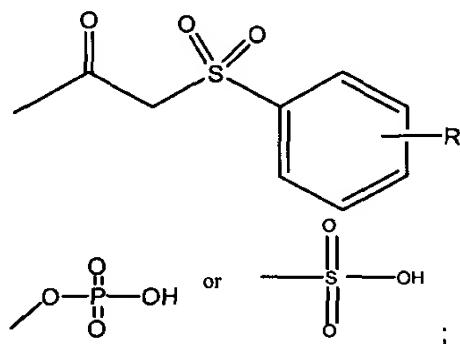
where X = O,N

M<sup>2</sup> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

- i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or
- ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or
- iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;

n is an integer from 0 to 3;]

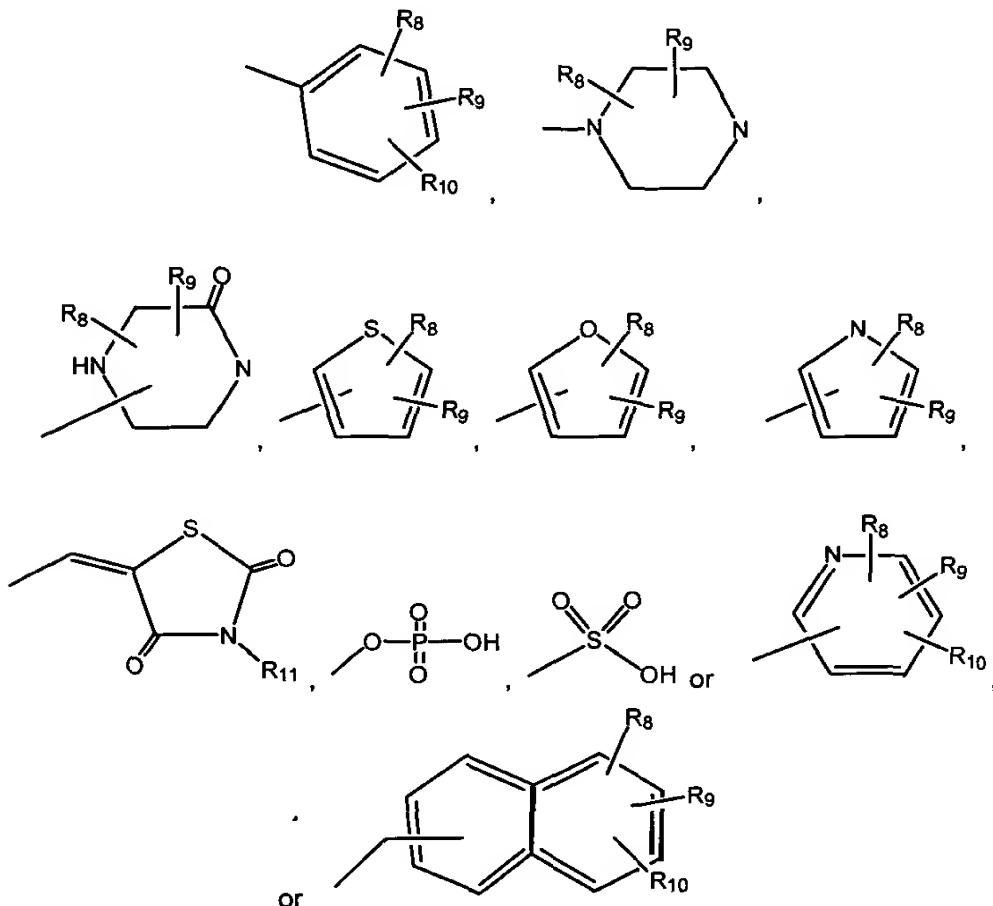
R<sub>6</sub> is selected from -COOH, -C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, (CH<sub>2</sub>)<sub>n</sub>-CH=CH-COOH, -(CH<sub>2</sub>)<sub>n</sub>-tetrazole, -CH<sub>2</sub>-phenyl-C(O)-benzothiazole, or



or a moiety selected from the formulae -L<sup>3</sup>-M<sup>3</sup> wherein L<sup>3</sup> and M<sup>3</sup> are as defined in claim 1;

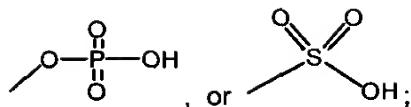
[wherein  $L^3$  is a bridging or linking moiety selected from a chemical bond,  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-SO_2-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-C(Z)-N(R_6)-$ ,  $-C(Z)-N(R_6)-(CH_2)_n-$ ,  $-C(O)-C(Z)-N(R_6)-$ ,  $-C(O)-C(Z)-N(R_6)-(CH_2)_n-$ ,  $-C(Z)-NH-SO_2-$ ,  $-C(Z)-NH-SO_2-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-(CH_2)_n-SO-(CH_2)_n-$ ,  $-(CH_2)_n-SO_2-(CH_2)_n-$ , or  $-(CH_2)_n-CH=CH-(CH_2)_n-O-$ ;

$M^3$  is selected from the group of  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,



where  $R_8$ ,  $R_9$  or  $R_{10}$  can be attached anywhere in the cyclic or bicyclic system,  
 $n$  is an integer from 0 to 3;

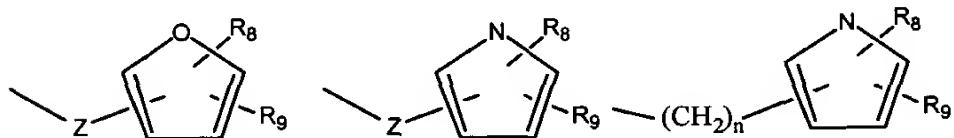
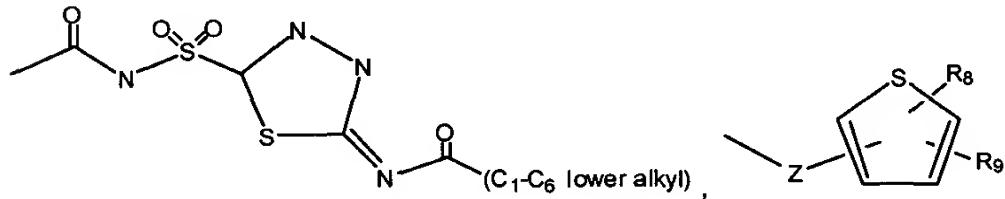
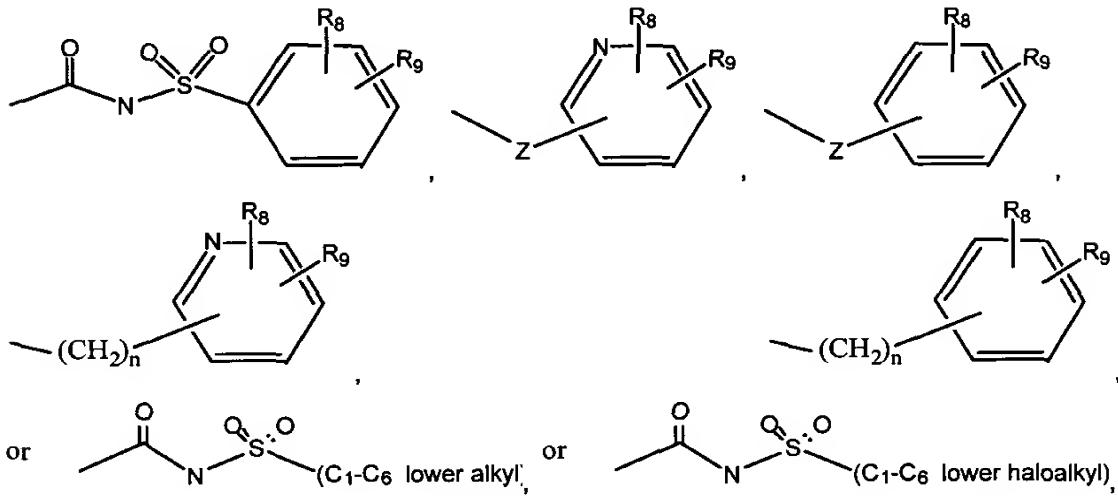
$R_8$ , in each appearance, is independently selected from  $H$ ,  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,  $-C(O)-NH_2$ ,  $-(CH_2)_n-C(O)-NH_2$ ,

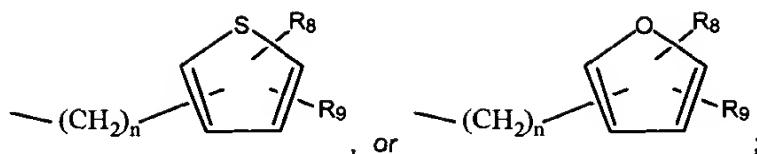


n is an integer from 0 to 3;

$R_9$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,  $-C_1-C_6$  alkyl,  $-O-C_1-C_6$  alkyl,  $-NH(C_1-C_6$  alkyl), or  $-N(C_1-C_6$  alkyl) $_2$ ;  
n is an integer from 0 to 3;

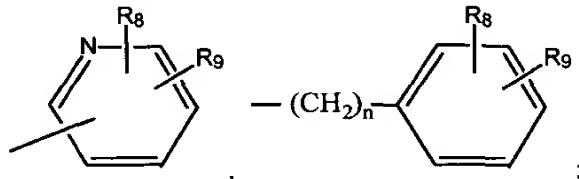
$R_{10}$  is selected from the group of H, halogen,  $-CF_3$ ,  $-OH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,  $-C_1-C_6$  alkyl,  $-O-C_1-C_6$  alkyl,  $-NH(C_1-C_6$  alkyl),  $-N(C_1-C_6$  alkyl) $_2$ ,



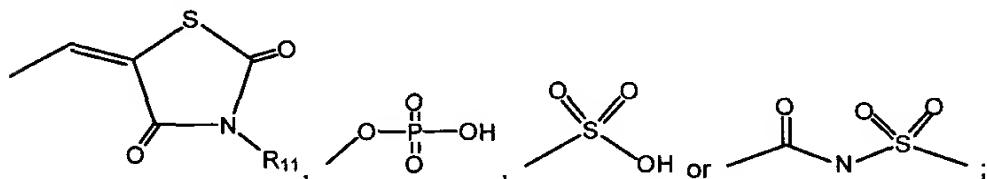


n is an integer from 0 to 3;

$R_{11}$  is selected from H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> cycloalkyl, -CF<sub>3</sub>, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH,



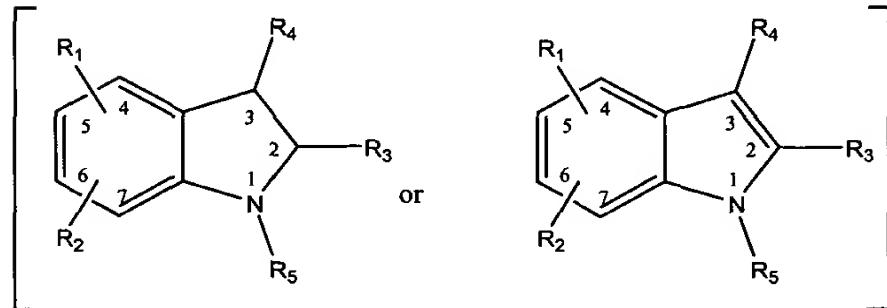
with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of  $R_5$ ,  $R_6$ ,  $R_8$ ,  $R_9$ , and/or  $R_{11}$  shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,



n is an integer from 0 to 3;]

or a pharmaceutically acceptable salt thereof.

5 (Amended). A compound of Claim 1 [having the formulae:]

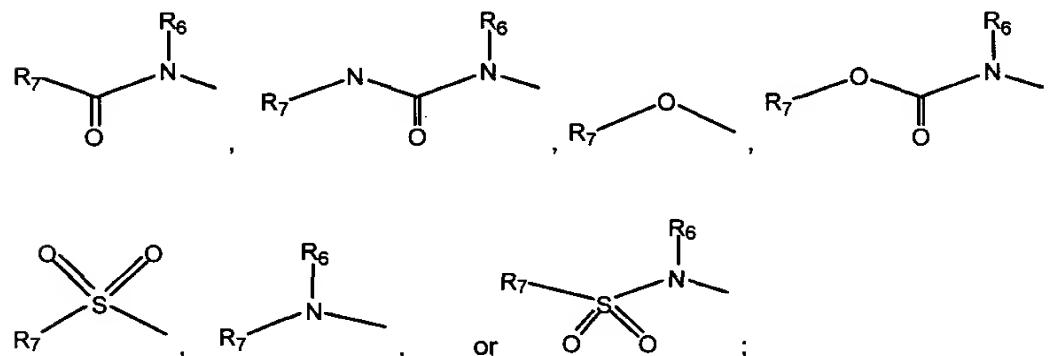


wherein:

$R_1$  is H;

[R<sub>1</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -S-C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -S-C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -HN(C<sub>1</sub>-C<sub>6</sub>), -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, phenyl, -O-phenyl, -S-phenyl, benzyl, -O-benzyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

or R<sub>1</sub> and R<sub>1'</sub> are independently a moiety of the formulae:



R<sub>6</sub> is selected from H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -C(O)CH<sub>3</sub>, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

R<sub>7</sub> is selected from -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, (CH<sub>2</sub>)<sub>n</sub>phenyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH;

R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

$R_3$  is selected from H,  $-CF_3$ ,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl,  $-C_1-C_6$  alkyl,  $-C_3-C_{10}$  cycloalkyl,  $-CHO$ , halogen,  $-(CH_2)_nC(O)NH_2$  or a moiety of the formula  $-L^1-M^1$ :

$L^1$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-(CH_2)_n-$ ,  $C(O)C(O)X$ , or  $-(CH_2)_n-N-(CH_2)_n$ ;

where X is O or N

$M^1$  is selected from:

a) H, the group of  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$  alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

b) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrrolidine, imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$  alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

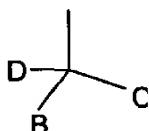
c) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to, pyran, pyridine, pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine, thiadiazine, oxazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$  alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$  or  $-OH$ ; or

d) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline, naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine, quinazoline, cinnoline, phthalazine, or napthyridine, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents

selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;]

R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, or [the groups of:

a) ]a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein A is the moiety:

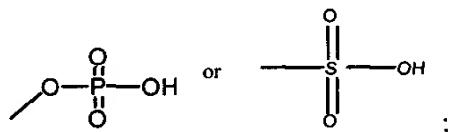


wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thieryl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2,] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>;

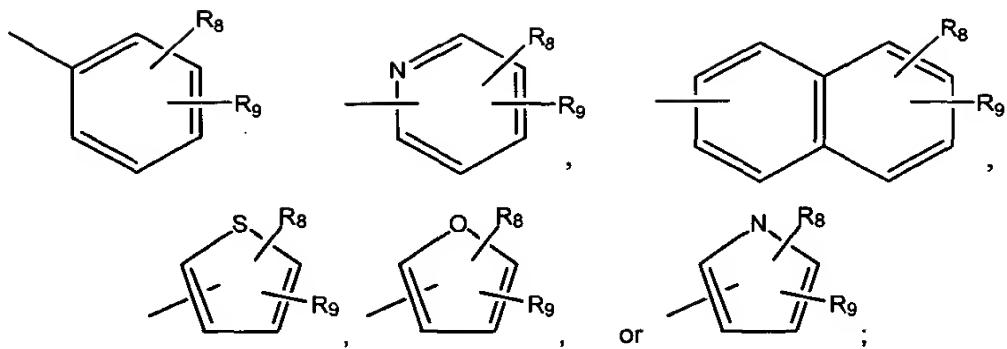
R<sub>5</sub> is selected from -COOH, -C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, (CH<sub>2</sub>)<sub>n</sub>-CH=CH-COOH, -(CH<sub>2</sub>)<sub>n</sub>-tetrazole, or



or a moiety selected from the formulae -L<sup>3</sup>-M<sup>3</sup>:

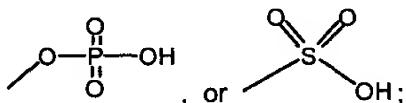
wherein L<sup>3</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -SO<sub>2</sub>-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

M<sup>3</sup> is selected from the group of -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, tetrazole,



where  $R_8$ ,  $R_9$  can be attached anywhere in the cyclic or bicyclic system,  
 $n$  is an integer from 0 to 3;

$R_8$ , in each appearance, is independently selected from H, -COOH,  $-(CH_2)_n$ -COOH,  $-(CH_2)_n$ -C(O)-COOH, tetrazole, -C(O)-NH<sub>2</sub>,  $-(CH_2)_n$ -C(O)-NH<sub>2</sub>,

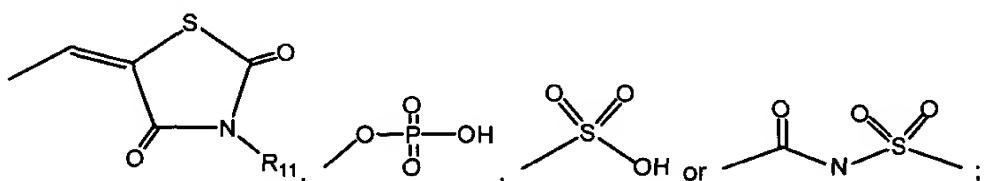


$n$  is an integer from 0 to 3;

$R_9$  is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH,  $-(CH_2)_n$ -COOH,  $-(CH_2)_n$ -C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;

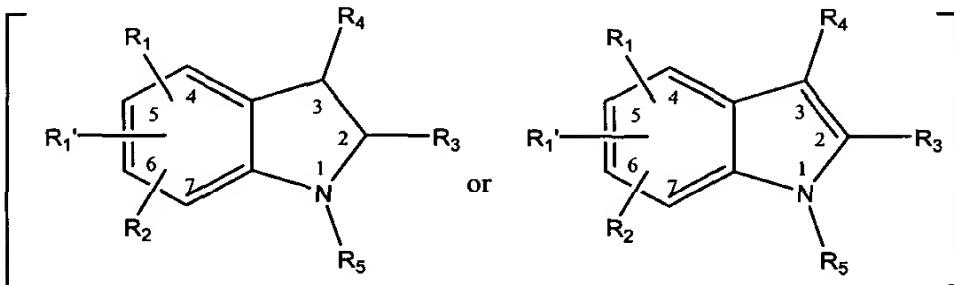
$n$  is an integer from 0 to 3;

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of  $R_5$ ,  $R_8$ ,  $R_9$ , shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH<sub>2</sub>,  $-(CH_2)_n$ -C(O)-NH<sub>2</sub>,



$n$  is an integer from 0 to 3;  
 or a pharmaceutically acceptable salt thereof.

6 (Amended). A compound of Claim 1 [having the formulae: ]

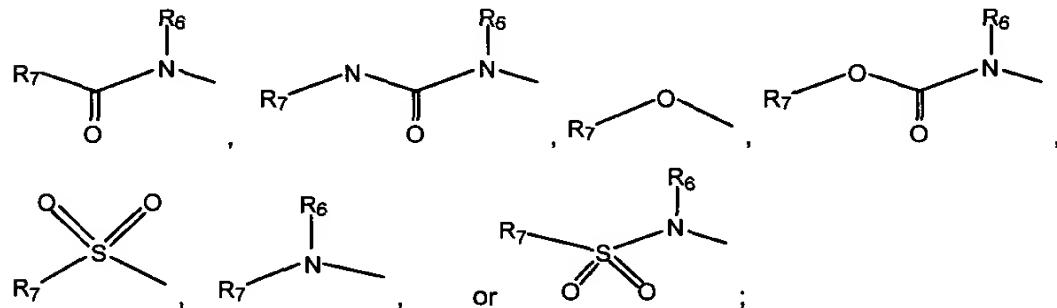


wherein:

$R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, [preferably  $-C_1-C_6$  alkyl]  $-S-C_1-C_{10}$  alkyl, [preferably  $-S-C_1-C_6$  alkyl]  $C_1-C_{10}$  alkoxy, [preferably  $C_1-C_6$  alkoxy]  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)_2$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl,  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

or  $R_1$  and  $R_1'$  are independently a moiety of the formulae:

or a moiety of the formulae:



$R_6$  and  $R_7$  are as defined in claim 1; is selected from H,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-C(O)CH_3$ , phenyl,  $-O$ -phenyl, benzyl,  $-O$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

$R_7$  is selected from  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-N-(C_1-C_6\text{ alkyl})_2$ ,  $-(CH_2)_n-NH-(C_1-C_6\text{ alkyl})$ ,  $-CF_3$ ,  $C_1-C_6$  alkyl,  $C_3-C_5$  cycloalkyl,  $C_1-C_6$  alkoxy,  $-NH-(C_1-C_6\text{ alkyl})$ ,  $-N-(C_1-C_6\text{ alkyl})_2$ , pyridinyl, thienyl, furyl, pyrrolyl, quinolyl,  $(CH_2)_n$  phenyl, phenyl,  $-O$ -phenyl, benzyl,  $-O$ -benzyl,

adamantyl, or morpholinyl,  $-(\text{CH}_2)_n$ -phenyl-O-phenyl,  $-(\text{CH}_2)_n$ -phenyl-CH<sub>2</sub>-phenyl,  $-(\text{CH}_2)_n$ -O-phenyl-CH<sub>2</sub>-phenyl,  $-(\text{CH}_2)_n$ -phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH;

R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;]

R<sub>3</sub> is selected from H, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -C<sub>1</sub>-C<sub>6</sub> alkyl, -C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -CHO, halogen, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub> or a moiety of the formula -L<sup>1</sup>-M<sup>1</sup>:

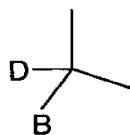
L<sup>1</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, C(O)C(O)X, -(CH<sub>2</sub>)<sub>n</sub>-N-(CH<sub>2</sub>)<sub>n</sub>;

M<sup>1</sup> is selected from[:

a)] H, the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably C<sub>1</sub>-C<sub>6</sub> alkyl,] C<sub>1</sub>-C<sub>10</sub> alkoxy, [preferably C<sub>1</sub>-C<sub>6</sub> alkoxy,] -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; [or]

R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, or [the groups of:

a)] a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein A is the moiety:



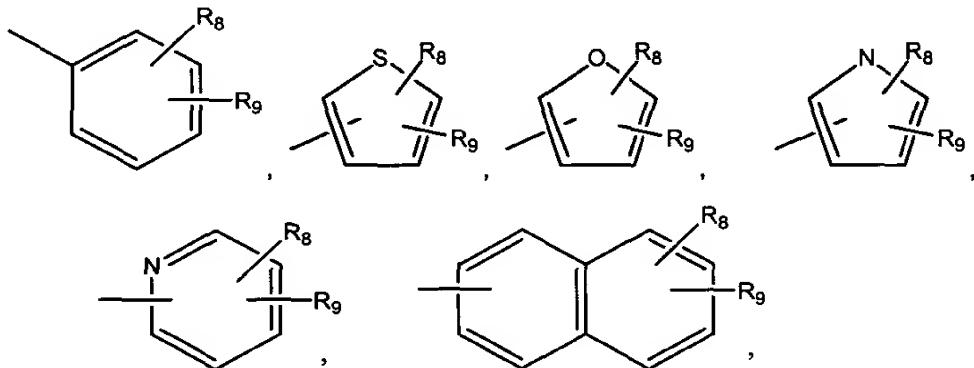
wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2,] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>;

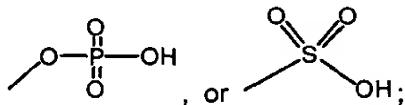
R<sub>5</sub> is selected from -COOH, -C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, (CH<sub>2</sub>)<sub>n</sub>-CH=CH-COOH, -(CH<sub>2</sub>)<sub>n</sub>-tetrazole, or a moiety selected from the formulae -L<sup>3</sup>-M<sup>3</sup>; wherein L<sup>3</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

M<sup>3</sup> is selected from the group of -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, tetrazole,



where R<sub>8</sub>, R<sub>9</sub> can be attached anywhere in the cyclic or bicyclic system,  
n is an integer from 0 to 3;

R<sub>6</sub>, in each appearance, is independently selected from H, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, tetrazole, -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,

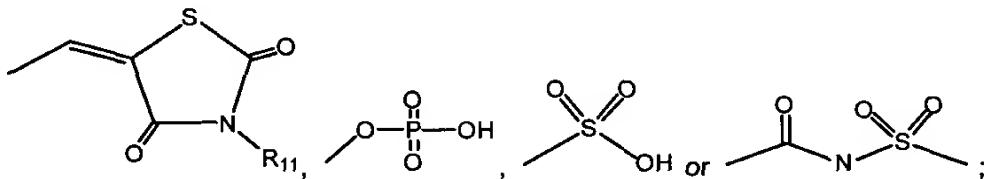


n is an integer from 0 to 3;

R<sub>7</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;

n is an integer from 0 to 3;

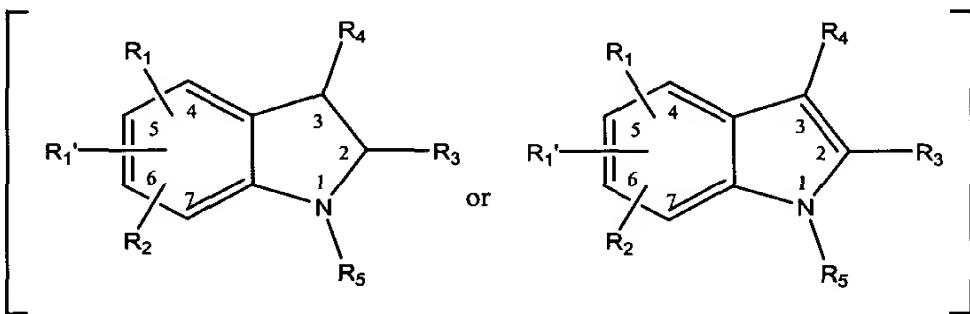
with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,



n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

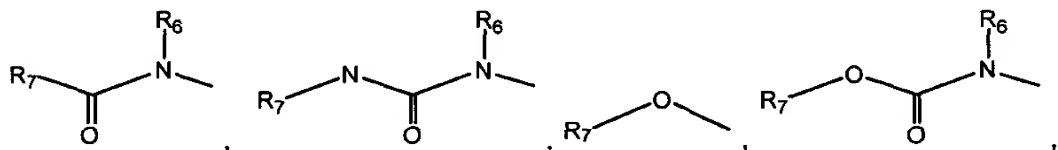
7 (Amended). A compound of Claim 1 [having the formulae:]

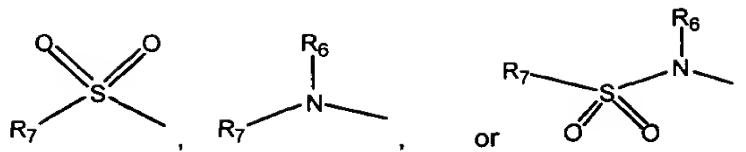


wherein:

[R<sub>1</sub> and R<sub>1'</sub> are independently selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -S-C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -S-C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -HN(C<sub>1</sub>-C<sub>6</sub>), -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, phenyl, -O-phenyl, -S-phenyl, benzyl, -O-benzyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

or R<sub>1</sub> and R<sub>1'</sub> are independently a moiety of the formulae:





$R_6$  is selected from H,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;]

$R_7$  is selected from -OH, -CF<sub>3</sub>,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, -NH-( $C_1-C_6$  alkyl), -N-( $C_1-C_6$  alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, -CN,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CF<sub>3</sub>, or -OH;

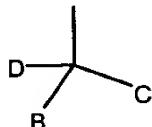
[ $R_2$  is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;]

$R_3$  is selected from H, -C<sub>1</sub>-C<sub>10</sub> alkyl, [preferably -C<sub>1</sub>-C<sub>6</sub> alkyl] -(CH<sub>2</sub>)-OH, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CH<sub>2</sub>-O-CH<sub>2</sub>-phenyl, -CH<sub>2</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CH<sub>2</sub>-N-CH<sub>2</sub>-phenyl, the phenyl rings of which are optionally substituted by 1 or 2 groups selected from H, halogen, -CF<sub>3</sub> or -C<sub>1</sub>-C<sub>6</sub> alkyl;

X is O or N

n = 0 or 1;

$R_4$  is a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein A is the moiety:

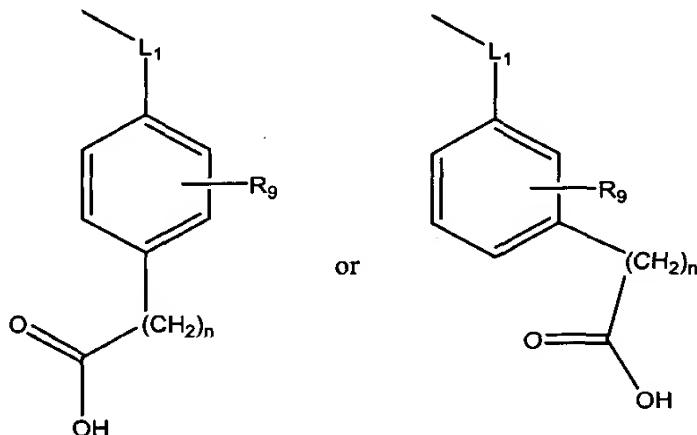


wherein

D is H,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2,] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>;

R<sub>5</sub> is a moiety selected from the groups of:



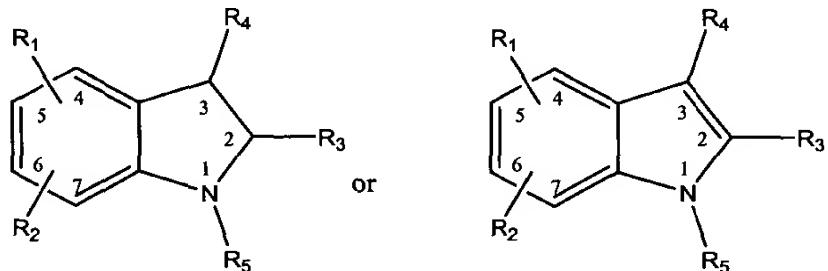
wherein L<sup>1</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

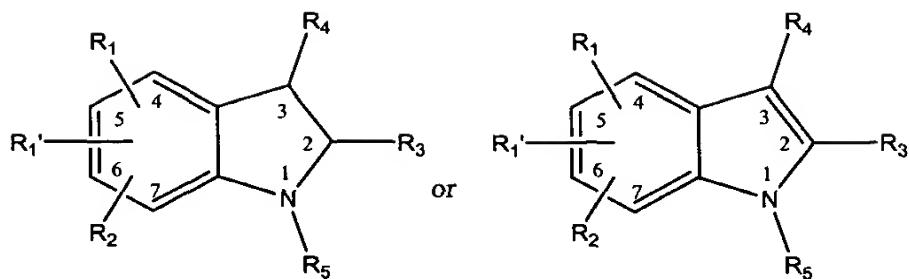
where n' is an integer from 0 to 5;

R<sub>9</sub> is selected from -CF<sub>3</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,

n in each instance is independently selected as an integer from 0 to 3; or a pharmaceutically acceptable salt thereof.

8 (Amended). A compound of Claim 1 having the formulae:





wherein:

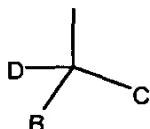
$R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, [preferably  $-C_1-C_6$  alkyl]  $-S-C_1-C_{10}$  alkyl, [preferably  $-S-C_1-C_6$  alkyl]  $C_1-C_{10}$  alkoxy, [preferably  $C_1-C_6$  alkoxy]  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl,  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

$R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, [preferably  $-C_1-C_6$  alkyl]  $C_1-C_{10}$  alkoxy, [preferably  $C_1-C_6$  alkoxy]  $-CHO$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6)$  alkyl $_2$ ,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

$R_3$  is selected from H,  $-C_1-C_{10}$  alkyl, [preferably  $-C_1-C_6$  alkyl]  $-(CH_2)-OH$ ,  $(CH_2)_nC(O)NH_2$ ,  $-CH_2-O-(C_1-C_6$  alkyl),  $-CH_2-O-CH_2$ -phenyl,  $-CH_2-N-(C_1-C_6$  alkyl),  $-CH_2-N$ -CH<sub>2</sub>-phenyl, the phenyl rings of which are optionally substituted by 1 or 2 groups selected from H, halogen,  $-CF_3$  or  $-C_1-C_6$  alkyl;

$n = 0$  or 1.

$R_4$  is a moiety of the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ , wherein A is the moiety:

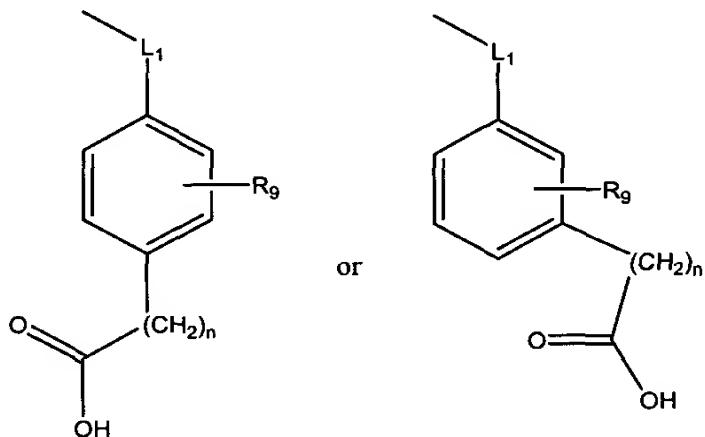


wherein

D is H,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy, or  $-CF_3$ ;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl or pyrrolyl groups, each optionally substituted by from 1 to 3, [preferably 1 to 2,] substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>;

R<sub>5</sub> is a moiety selected from the groups of:



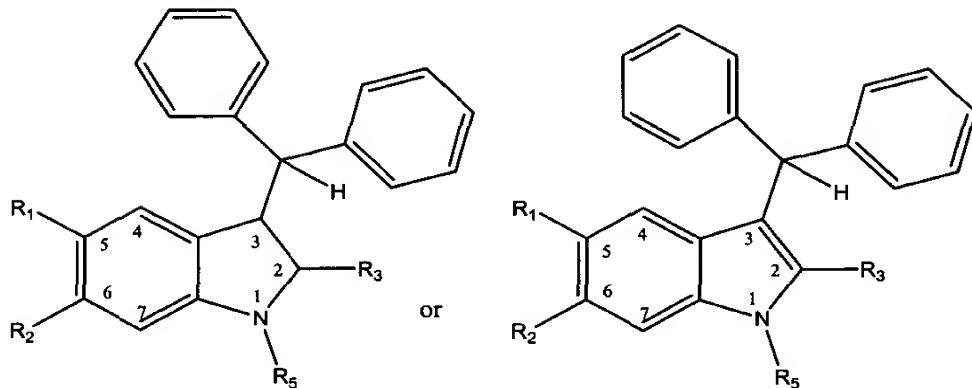
wherein L<sup>1</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

where n = 0-5

R<sub>9</sub> is selected from -CF<sub>3</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,

n in each instance is independently selected as an integer from 0 to 3,  
or a pharmaceutically acceptable salt thereof.

9 (Amended). A compound of Claim 1 having the formulae:



wherein:

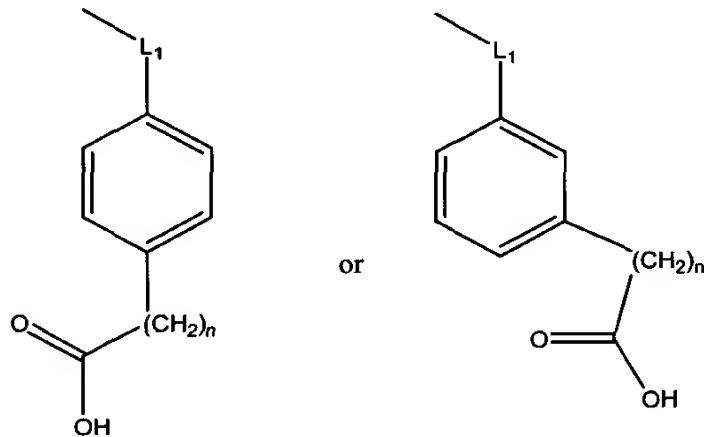
$R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

$R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6)_2$  alkyl,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

$R_3$  is selected from H,  $-C_1-C_{10}$  alkyl, [preferably  $-C_1-C_6$  alkyl],  $-(CH_2)-OH$ ,  $(CH_2)_nC(O)NH_2$ ,  $-CH_2-O-(C_1-C_6$  alkyl),  $-CH_2-O-CH_2$ -phenyl,  $-CH_2-N-(C_1-C_6$  alkyl),  $-CH_2-N-CH_2$ -phenyl, the phenyl rings of which are optionally substituted by 1 or 2 groups selected from H, halogen,  $-CF_3$  or  $-C_1-C_6$  alkyl;

$n = 0$  or  $1$ .

$R_5$  is a moiety selected from the groups of:



wherein L<sup>1</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

n' in each instance is independently selected as an integer from 0 to 5;  
or a pharmaceutically acceptable salt thereof.--